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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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GSK-4716

Cat. No.: HY-33353

CAS No.: 101574-65-6

Molecular Formula: $C_{17}H_{18}N_2O_2$

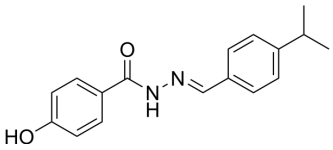
Molecular Weight: 282.34

Target: Estrogen Receptor/ERR

Pathway: Vitamin D Related/Nuclear Receptor

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (354.18 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.5418 mL	17.7091 mL	35.4183 mL
5 mM	0.7084 mL	3.5418 mL	7.0837 mL
10 mM	0.3542 mL	1.7709 mL	3.5418 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.85 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.85 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.85 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK-4716 is a selective ERRβ/γ agonist.

IC_{50} & Target

ERRβ/γ[1]

In Vitro

Treatment of differentiated C2C12 cells with the ERRβ/γ agonist (relative to vehicle) over a 2 to 4 h time period reveals a reproducible and robust increase in the immunoreactivity of the GRα-D isoform. It is observed that MAO-A mRNA expression

is significantly increased by treatment with the ERRβ/γ agonist, GSK4716. Furthermore, it is observed that GSK4716 induces the expression of the mRNAs encoding peroxisome proliferator-activated receptor-γcoactivator 1α (PGC-1α) and PGC-1β (key regulator of many metabolic genes) identified as direct coactivators for the ERR family. GSK4716 induces the expression of PGC-1 and genes involved in fatty acid oxidation in concordance with the characterized role of ERRγ in cardiac metabolism[1]. Treatment of primary mouse myotubes with GSK4716, an ERRβ/γ agonist, results in a concerted increase in the expression levels of Ppargc1a, Ppargc1b, and the Esrr genes. Furthermore,Cpt1b, Atp5b, and Idh3, genes in key mitochondrial pathways, are also induced by GSK4716. Additionally, GSK4716 increases citrate synthase activity and cytochrome c protein levels[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]

Proliferating mouse C2C12 myoblast are cultured and maintained in DMEM supplemented with 10% heat-inactivated serum supreme. Differentiation of myoblasts into post-mitotic, multi-nucleated myotubes are induced by mitogen withdrawal (DMEM supplemented with 2% horse serum) for 4 days. C2C12 myotubes are treated with either vehicle (DMSO) or ERRβ/γ agonist GSK4716 for 1 day and RNA collected and processed[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Sci Total Environ. 2023 Aug 11;166257.
- Ecotoxicol Environ Saf. 2021 Apr 1;212:111991.

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REFERENCES

[1]. Wang SC, et al. An ERRbeta/gamma agonist modulates GRalpha expression, and glucocorticoid responsive gene expression in skeletal muscle cells. Mol Cell Endocrinol. 2010 Feb 5;315(1-2):146-52.

[2]. Rangwala SM, et al. Estrogen-related receptor gamma is a key regulator of muscle mitochondrial activity and oxidative capacity. J Biol Chem. 2010 Jul 16;285(29):22619-29.

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